

PATENT COOPERATION TREATY

10/510067

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

1 OCT 2004

To:
 MATTHEW A. LEFF
 MERCK & CO., INC.
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PCT
 NOTIFICATION OF TRANSMITTAL OF
 INTERNATIONAL PRELIMINARY
 EXAMINATION REPORT

(PCT Rule 71.1)

Date of Mailing
(day/month/year)**15 MAR 2004**

Applicant's or agent's file reference

IMPORTANT NOTIFICATION

PCT 21078Y

| International application No. | International filing date (day/month/year) | Priority date (day/month/year) |
|-------------------------------|--|--------------------------------|
| PCT/US03/10341 | 04 April 2003 (04.04.2003) | 08 April 2002 (08.04.2002) |

Applicant

MERCK & CO., INC.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices)(Article 39(1))(see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

Name and mailing address of the IPEA/US
 Mail Stop PCT, Attn: IPEA/US
 Commissioner for Patents
 P.O. Box 1450
 Alexandria, Virginia 22313-1450
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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

| | | |
|--|---|--|
| Applicant's or agent's file reference PCT 21078Y | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/US03/10341 | International filing date (<i>day/month/year</i>) 04 April 2003 (04.04.2003) | Priority date (<i>day/month/year</i>) 08 April 2002 (08.04.2002) |
| International Patent Classification (IPC) or national classification and IPC IPC(7): A61K 31/498; C07D 241/42. and US Cl.: 514/249; 544/353. | | |
| Applicant MERCK & CO., INC. | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 3 sheets, including this cover sheet.
- This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT). These annexes consist of a total of 0 sheets.

3. This report contains indications relating to the following items:

- I Basis of the report
- II Priority
- III Non-establishment of report with regard to novelty, inventive step and industrial applicability
- IV Lack of unity of invention
- V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI Certain documents cited
- VII Certain defects in the international application
- VIII Certain observations on the international application

| | |
|---|---|
| Date of submission of the demand 20 October 2003 (20.10.2003) | Date of completion of this report 04 December 2003 (04.12.2003) |
| Name and mailing address of the IPEA/US Mail Stop PCT, Attn: IPEA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (703) 305-3230 | Authorized officer  Emily Bernhardt Telephone No. (703) 308-1235 |

Form PCT/IPEA/409 (cover sheet)(July 1998)

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US03/10341

I. Basis of the report1. With regard to the elements of the international application:^{*}

- the international application as originally filed.
 the description:

pages 1-72 as originally filed
 pages NONE, filed with the demand
 pages NONE, filed with the letter of _____.

- the claims:

pages 73-93, as originally filed
 pages NONE, as amended (together with any statement) under Article 19
 pages NONE, filed with the demand
 pages NONE, filed with the letter of _____.

- the drawings:

pages NONE, as originally filed
 pages NONE, filed with the demand
 pages NONE, filed with the letter of _____.

- the sequence listing part of the description:

pages 1-4, as originally filed
 pages NONE, filed with the demand
 pages NONE, filed with the letter of _____.

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
 the language of publication of the international application (under Rule 48.3(b)).
 the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in printed form.
 filed together with the international application in computer readable form.
 furnished subsequently to this Authority in written form.
 furnished subsequently to this Authority in computer readable form.
 The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
 The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages NONE
 the claims, Nos. NONE
 the drawings, sheets/fig NONE

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/US03/10341**V. Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. STATEMENT**

| | | |
|-------------------------------|--------------------|-----|
| Novelty (N) | Claims <u>1-25</u> | YES |
| | Claims <u>NONE</u> | NO |
| Inventive Step (IS) | Claims <u>1-25</u> | YES |
| | Claims <u>NONE</u> | NO |
| Industrial Applicability (IA) | Claims <u>1-25</u> | YES |
| | Claims <u>NONE</u> | NO |

2. CITATIONS AND EXPLANATIONS

Claims 1-25 meet the criteria set out in PCT Article 33(2)-(3), because the prior art does not teach or fairly suggest the structural makeup of compounds claimed herein which require a mandatory sulfonylaminomethylene group on phenyl ring at 2-position.

Claims 1-25 meet the criteria set out in PCT Article 33(4), and thus possess industrial applicability because the subject matter claimed can be made or used in industry. Description states compounds of invention are useful for treating cancers based on serine/threonine kinase inhibitory activity reported on p.70 of the description.